

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)



(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference FPAA672PCT | FOR FURTHER ACTION See Form PCT/IPEA/416 | |
| International application No. PCT/IN2005/000125 | International filing date (day/month/year) 25.04.2005 | Priority date (day/month/year) 28.04.2004 |
| International Patent Classification (IPC) or national classification and IPC INV. C07H17/08 C07H17/00 | | |
| Applicant ALEMBIC LIMITED et al. | | |

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
 - a. ☐ sent to the applicant and to the International Bureau) a total of sheets, as follows:
 - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
 - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
 - b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

- ☒ Box No. I Basis of the report
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

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| Date of submission of the demand 25.01.2006 | Date of completion of this report 16.03.2006 |
| Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465 | Authorized officer Klein, D Telephone No. +49 89 2399-7896  |

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/IN2005/000125

Box No. I Basis of the report

1. With regard to the **language**, this report is based on
- ☒ the international application in the language in which it was filed
 - ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of:
 - ☐ international search (under Rules 12.3(a) and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4(a))
 - ☐ international preliminary examination (under Rules 55.2(a) and/or 55.3(a))
2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

Description, Pages

1-26 as originally filed

Claims, Numbers

1-42 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/IN2005/000125

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

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|-------------------------------|-------------|------|
| Novelty (N) | Yes: Claims | 1-42 |
| | No: Claims | |
| Inventive step (IS) | Yes: Claims | 1-42 |
| | No: Claims | |
| Industrial applicability (IA) | Yes: Claims | 1-42 |
| | No: Claims | |

2. Citations and explanations (Rule 70.7):

see separate sheet

**INTERNATIONAL PRELIMINARY
REPORT ON PATENTABILITY
(SEPARATE SHEET)**

International application No.

PCT/IN2005/000125

Re Item V

**Reasoned statement with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

- D1: WU, YONG-JIN ET AL: "Recent developments on ketolides and macrolides"
CURRENT MEDICINAL CHEMISTRY , 8(14), 1727 - 1758 CODEN:
CMCHE7; ISSN: 0929-8673, 2001, XP009056485
- D2: US-A-5 635 485 (AGOURIDAS ET AL) 3 June 1997 (1997-06-03)
- D3: FR-A1-2 732 684 (ROUSSEL-UCLAF, FR.) 11 October 1996 (1996-10-11)
- D4: EP-A-0 487 411 (ROUSSEL-UCLAF; ROUSSEL UCLAF) 27 May 1992 (1992-05-27)
- D5: GRAUL, A. ET AL: "HMR-3647, an antimicrobial ketolide" DRUGS OF THE
FUTURE , 23(6), 591-597 CODEN: DRFUD4; ISSN: 0377-8282, 1998,
XP000909275
- D6: DENIS, ALEXIS ET AL: "Synthesis and antibacterial activity of HMR 3647, a
new ketolide highly potent against erythromycin-resistant and susceptible
pathogens" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS , 9(21),
3075-3080 CODEN: BMCLE8; ISSN: 0960-894X, 1999, XP004181010

Novelty (Art. 33(2) PCT):

The subject-matter of the present application concerns a process for the preparation of telithromycin.

Since none of the cited prior art discloses the subject-matter of the present application, claims 1-42 are considered new according to Art. 33(2) PCT.

Inventive step (Art. 33(3) PCT) :

D1, which is considered to represent the closest prior art, discloses a process for the preparation of telithromycin (see page 1729) from which the subject-matter of the present application differs in the sequence order of the different reaction step.

The present overcomes some drawbacks of D1 like using hazardous reagents like NaH but

also provides Telithromycin of high purity (>99 %)

Furthermore, because of the inversion of sequence order, the intermediate compounds are different, and so is their chemistry. As a result inventiveness is required from the man skilled in the art.

On the basis of these facts, inventive step can be acknowledged.

Industrial application (Art. 33(4) PCT) :

Claims 1-42 fulfill the requirements of Art.33(4) PCT.